

Attachment: No

Case/Application number: 10596086 PALM <http://expoweb1:8001/cgi-bin/expo/Geninfo/enquery.pl?APPL_ID=10596086>
Priority App. Filing Date:
Format for Search Results: SCORE

Meaning of unusual acronyms or initialisms:

Identify the novelty:

Additional Comments:

Search compounds of claim 31 where benzene ring substituent may be in any position, any lower alkyl may also be hydrogen and any hydrogen may also be lower alkyl.

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 12:17:25 ON 11 JAN 2010

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FILE COVERS 1907 - 11 Jan 2010 VOL 152 ISS 3

FILE LAST UPDATED: 10 Jan 2010 (20100110/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

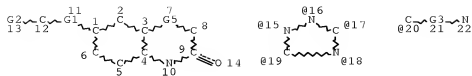
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

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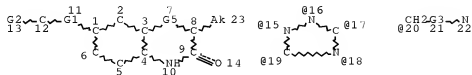
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 REP G3=(0-1) C
 VAR G5=CH/N
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
 L3 5172 SEA FILE=REGISTRY SSS FUL L1
 L5 STR



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 VAR G2=N/15/16/17/18/19/20
 REP G3=(0-1) CH2
 VAR G5=CH/N
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
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 L11 18 SEA FILE=HCAPLUS ABB=ON PLU=ON L6
 L12 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND (?PHARMA? OR ?THERAP?
 OR ?DRUG? OR ?MEDIC?)
 L13 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND (AY=<2003 OR PY=<2003
 OR PRY=<2003 OR PD=< JANUARY 5, 2004)

=> d ibib abs hitstr l13 1-5

L13 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:567163 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:78213

TITLE: Preparation of cyclohexylalkyl quinolinone and quinoxalinone derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors

INVENTOR(S): Mabire, Dominique Jean-Pierre; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

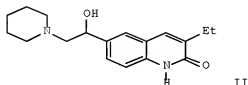
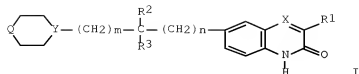
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058843	A1	20050630	WO 2004-EP13165	20041118 <--
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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CA 2548273	A1	20050630	CA 2004-2548273	20041118 <--
EP 1694653	A1	20060830	EP 2004-803192	20041118 <--
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BR 2004017571	A	20070320	BR 2004-17571	20041118 <--
JP 2007513898	T	20070531	JP 2006-543409	20041118 <--
SG 151250	A1	20090430	SG 2009-1548	20041118 <--
US 20090042881	A1	20090212	US 2006-596083	20060530 <--
MX 2006006573	A	20060731	MX 2006-6573	20060609 <--
IN 2006DN03331	A	20070824	IN 2006-DN3331	20060609 <--
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KR 2006108753	A	20061018	KR 2006-713344	20060703 <--
NO 2006003129	A	20060705	NO 2006-3129	20060705 <--
PRIORITY APPLN. INFO.:			EP 2003-78918	20031210 <--
			WO 2004-EP13165	W 20041118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:78213; MARPAT 143:78213

GI



AB Title compds. I [$n = 0-1$; $m = 0-1$; $X = N, CR_4$; $Y = N, CH$; $Q = NH, O, CO$, etc.; $R_1 = \text{alkyl, thienyl}$; $R_2 = H$ or together with R_3 may form O ; $R_3 = H, \text{alkyl, OH}$, etc. or $R_3 = (CH_2)pZ$; $R_4 = H$ or together with R_1 may form $(CH=CH)_2$; $p = 0-2$; $Z = (\text{un})\text{substituted heterocycle}$] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of poly(ADP-ribose) polymerase (PARP). Thus, e.g., II was prepared by reaction of 3-ethyl-2(1H)-quinolinone with chloroacetyl chloride followed by coupling with piperidine and subsequent reduction. The inhibitory activity of I towards PARP-1 was evaluated in scintillation proximity assays and in filtration assays and it was revealed that compds. of the invention displayed inhibitory activity at initial test concns. of 10-6 and 10-5 M, resp. I as inhibitors of poly(ADP-ribose) polymerase should prove useful in the treatment of PARP-1 mediated disorders. Pharmaceutical compds. comprising I are disclosed.

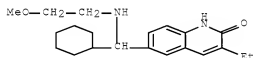
IT 855444-20-1P 855444-33-6P 855444-40-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)

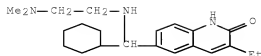
RN 855444-20-1 HCAPLUS

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RN 855444-33-6 HCAPLUS

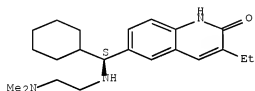
CN 2(1H)-Quinolinone, 6-[cyclohexyl[[2-(dimethylamino)ethyl]amino]methyl]-3-ethyl- (CA INDEX NAME)



RN 855444-40-5 HCAPLUS

CN 2(1H)-Quinolinone, 6-[(S)-cyclohexyl[[2-(dimethylamino)ethyl]amino]methyl]-3-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:523430 HCAPLUS Full-text

DOCUMENT NUMBER: 143:60003

TITLE: Preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors

INVENTOR(S): Mabire, Dominique Jean-Pierre; Guillemont, Jerome
Emile Georges; Van Dun, Jacobus Alphonsus Josephus;
Somers, Maria Victorina Francisca; Wouters, Walter
Boudewijn Leopold

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

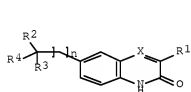
PATENT INFORMATION:

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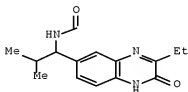
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CA 2546657	A1	20050616	CA 2004-2546657	20041118 <--
EP 1709012	A1	20061011	EP 2004-819602	20041118 <--
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CN 1890224	A	20070103	CN 2004-80035857	20041118 <--
BR 2004016532	A	20070109	BR 2004-16532	20041118 <--
JP 2007513101	T	20070524	JP 2006-541830	20041118 <--
SG 151249	A1	20090430	SG 2009-1531	20041118 <--
IN 2006DN03071	A	20070810	IN 2006-DN3071	20060529 <--
US 20070129375	A1	20070607	US 2006-596086	20060530 <--
MX 2006006255	A	20060809	MX 2006-6255	20060602 <--
KR 2006118534	A	20061123	KR 2006-711234	20060608 <--
NO 2006003028	A	20060628	NO 2006-3028	20060628 <--
PRIORITY APPLN. INFO.:			EP 2003-78859	A 20031205 <--
			WO 2004-EP13164	W 20041118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:60003; MARPAT 143:60003
GI



I



II

AB The title compds. I [$n = 0-2$; $X = N, CR5$; $R5 = H$ or taken together with $R1$ may form $CH:CHCH:CH$; $R1 = \text{alkyl, thienyl}$; $R2 = H, OH$, or taken together with $R3$ or $R4$ may form O ; $R3 = OH, OR8, SR9$, etc.; $R8 = \text{alkyl, alkylcarbonyl, dialkylaminoalkyl}$; $R9 = \text{dialkylaminoalkyl}$; $R4 = H, \text{alkyl, furanyl, etc.}$; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from 1-(4-amino-3-nitrophenyl)-2-methyl-1-propanone, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

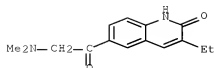
IT 854523-79-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 6-substituted 2-quinolinones and 2-quinoxalines as poly(ADP-ribose) polymerase inhibitors)

RN 854523-79-8 HCAPLUS

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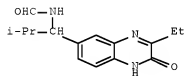
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 854523-91-4P 854523-92-5P 854523-94-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

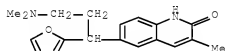
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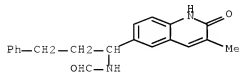
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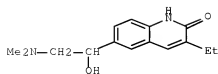
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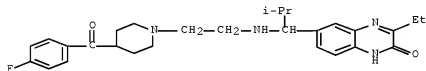
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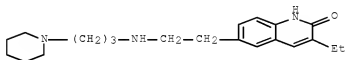
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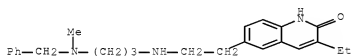
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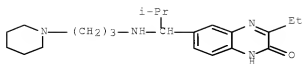
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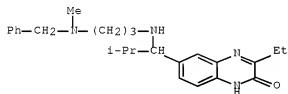
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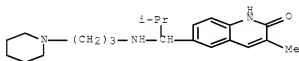
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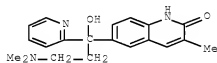
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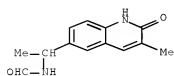
RN 854523-92-5 HCAPLUS

CN 2 (1H)-Quinolinone, 6-[[3-(dimethylamino)-1-hydroxy-1-(2-pyridinyl)propyl]-3-methyl- (CA INDEX NAME)

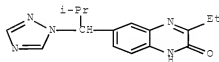


RN 854523-94-7 HCAPLUS

CN Formamide, N-[1-(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)ethyl]- (CA INDEX NAME)



IT 130347-78-3
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (preparation of 6-substituted 2-quinolinones and 2-quinoxalinones as
 poly(ADP-ribose) polymerase inhibitors)
 RN 130347-78-3 HCAPLUS
 CN 2(1H)-Quinoxalinone, 3-ethyl-6-[2-methyl-1-(1H-1,2,4-triazol-1-yl)propyl]-
 (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2010 ACS ON STN
 ACCESSION NUMBER: 2005:523424 HCAPLUS Full-text
 DOCUMENT NUMBER: 143:60001
 TITLE: Preparation of 6-alkenyl and 6-phenylalkyl substituted
 2-quinolinones and 2-quinoxalinones as
 poly(ADP-ribose) polymerase inhibitors
 INVENTOR(S): Mabire, Dominique Jean-pierre; Guillemonet, Jerome
 Emile Georges; Van Dun, Jacobus Alphonsus Josephus;
 Somers, Maria Victorina Francisca; Wouters, Walter
 Boudewijn Leopold
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: PCT Int. Appl., 102 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054201	A1	20050616	WO 2004-EP13163	20041118 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1687277	A1	20060809	EP 2004-819601	20041118 <--

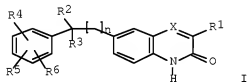
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CN 1882547	A	20061220	CN 2004-80034176	20041118 <--
BR 2004016206	A	20061226	BR 2004-16206	20041118 <--
JP 2007511574	T	20070510	JP 2006-540338	20041118 <--
SG 150533	A1	20090330	SG 2009-1197	20041118 <--
US 20070072842	A1	20070329	US 2006-595891	20060518 <--
IN 2006DN02813	A	20070803	IN 2006-DN2813	20060518 <--
MX 2006005687	A	20060817	MX 2006-5687	20060519 <--
ZA 2006004075	A	20070926	ZA 2006-4075	20060519 <--
KR 2006115393	A	20061108	KR 2006-710201	20060525 <--
NO 2006002894	A	20060809	NO 2006-2894	20060620 <--
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			EP 2003-78860	A 20031205 <--
			WO 2003-EP130	A 20031120 <--
			WO 2004-EP13163	W 20041118

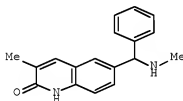
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:60001; MARPAT 143:60001

GI



I



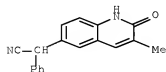
II

AB The title compds. I [n = 0-2; X = N, CR7; R7 = H or taken together with R1 may form CH₂CHCH₂CH₂; R1 = alkyl, thiophenyl; R2 = H, OH, alkyl, alkynyl or taken together with R3 may form O; R3 = OH, OR10, SR11, etc.; R10, R11 = CHO, alkyl, (alkyl)amino, etc.; R4-R6 = H, halo, trihalomethyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from bromobenzene and 3-methyl-6-quinolinecarboxaldehyde, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 854532-61-9P 854533-95-2P

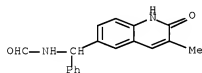
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854532-61-9 HCAPLUS

CN 6-Quinolineacetonitrile, 1,2-dihydro-3-methyl-2-oxo- α -phenyl- (CA INDEX NAME)

RN 854533-95-2 HCAPLUS

CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)phenylmethyl]- (CA INDEX NAME)



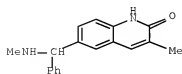
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	854532-86-8P	854532-87-9P	854533-07-6P
	854533-14-5P	854533-16-7P	854533-18-9P
	854533-20-3P	854533-25-8P	854533-27-0P
	854533-29-2P	854533-43-0P	854533-56-5P
	854533-62-3P	854533-65-6P	854533-67-8P
	854533-75-8P	854533-79-2P	854533-81-6P
	854533-83-8P	854533-85-0P	854533-87-2P
	854533-91-8P	854533-93-0P	854534-23-9P
	854534-24-0P	854534-25-1P	854534-26-2P
	854534-27-3P	854534-28-4P	854535-35-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

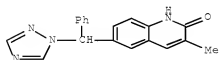
RN 854532-58-4 HCAPLUS

CN 2-(1H)-Quinolinone, 3-methyl-6-[(methylamino)phenylmethyl]- (CA INDEX NAME)



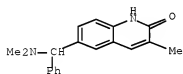
RN 854532-62-0 HCAPLUS

CN 2(1H)-Quinolinone, 3-methyl-6-(phenyl-1H-1,2,4-triazol-1-ylmethyl)- (CA INDEX NAME)



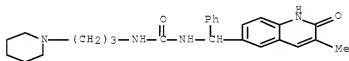
RN 854532-63-1 HCAPLUS

CN 2(1H)-Quinolinone, 6-[(dimethylamino)phenylmethyl]-3-methyl- (CA INDEX NAME)



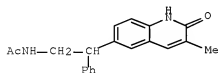
RN 854532-64-2 HCAPLUS

CN Urea, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)phenylmethyl]-N'-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)



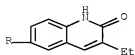
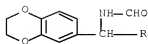
RN 854532-65-3 HCAPLUS

CN Acetamide, N-[2-(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)-2-phenylethyl]- (CA INDEX NAME)



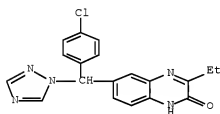
RN 854532-75-5 HCAPLUS

CN Formamide, N-[(2,3-dihydro-1,4-benzodioxin-6-yl)(3-ethyl-1,2-dihydro-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)



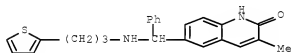
RN 854532-79-9 HCAPLUS

CN 2(1H)-Quinoxalinone, 6-[(4-chlorophenyl)-1H-1,2,4-triazol-1-ylmethyl]-3-ethyl- (CA INDEX NAME)



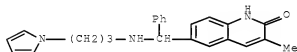
RN 854532-80-2 HCAPLUS

CN 2(1H)-Quinolinone, 3-methyl-6-[phenyl[[3-(2-thienyl)propyl]amino]methyl]- (CA INDEX NAME)



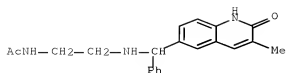
RN 854532-81-3 HCAPLUS

CN 2(1H)-Quinolinone, 3-methyl-6-[phenyl[[3-(1H-pyrrol-1-yl)propyl]amino]methyl]- (CA INDEX NAME)



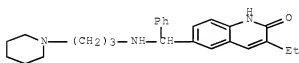
RN 854532-82-4 HCAPLUS

CN Acetamide, N-[2-[[[1,2-dihydro-3-methyl-2-oxo-6-quinolinyl]phenylmethyl]amino]ethyl]- (CA INDEX NAME)



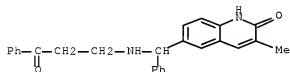
RN 854532-83-5 HCAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[phenyl[[3-(1-piperidinyl)propyl]amino]methyl]- (CA INDEX NAME)



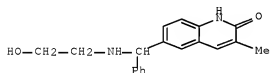
RN 854532-84-6 HCAPLUS

CN 2(1H)-Quinolinone, 3-methyl-6-[[3-(1-phenyl-2-(1H)-quinolin-2(1H)-yl)propyl]amino]phenylmethyl]- (CA INDEX NAME)



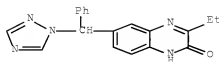
RN 854532-86-8 HCAPLUS

CN 2(1H)-Quinolinone, 6-[[3-(1-phenyl-2-(1H)-quinolin-2(1H)-yl)propyl]amino]phenylmethyl]-3-methyl- (CA INDEX NAME)

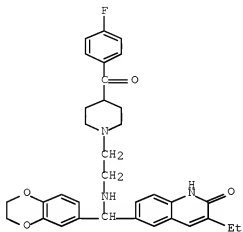


RN 854532-87-9 HCAPLUS

CN 2(1H)-Quinoxalinone, 3-ethyl-6-(phenyl-1H-1,2,4-triazol-1-ylmethyl)- (CA INDEX NAME)



RN 854533-07-6 HCAPLUS
 CN 2(1H)-Quinolinson, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]methyl]-3-ethyl- (CA INDEX NAME)

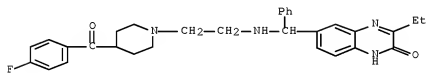


RN 854533-14-5 HCAPLUS
 CN 2(1H)-Quinoxalinone, 3-ethyl-6-[[[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]amino]phenylmethyl]-, ethanedioate (2:5) (CA INDEX NAME)

CM 1

CRN 854533-13-4

CMF C31 H33 F N4 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



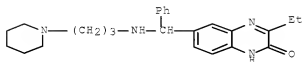
RN 854533-16-7 HCAPLUS
 CN 2(1H)-Quinoxalinone, 3-ethyl-6-[phenyl[[3-(1-

piperidinyl)propyl]amino]methyl]-, ethanedioate (2:5) (CA INDEX NAME)

CM 1

CRN 854533-15-6

CMF C25 H32 N4 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



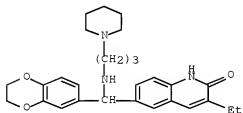
RN 854533-18-9 HCAPLUS

CN 2-(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[(3-(1-piperidinyl)propyl)amino]methyl]-3-ethyl-, ethanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 854533-17-8

CMF C28 H35 N3 O3



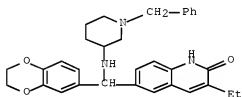
CM 2

CRN 144-62-7

CMF C2 H2 O4



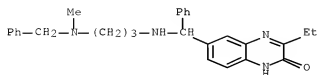
RN 854533-20-3 HCAPLUS
 CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[1-(phenylmethyl)-3-piperidinyl]amino]methyl-3-ethyl-, ethanedioate (2:5) (CA INDEX NAME)
 CM 1
 CRN 854533-19-0
 CMF C32 H35 N3 O3



CM 2
 CRN 144-62-7
 CMF C2 H2 O4



RN 854533-25-8 HCAPLUS
 CN 2(1H)-Quinoxalinone, 3-ethyl-6-[[[3-methyl(phenylmethyl)amino]propyl]amino]phenylmethyl]-, ethanedioate (1:2) (CA INDEX NAME)
 CM 1
 CRN 854533-24-7
 CMF C28 H32 N4 O



CM 2

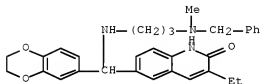
CRN 144-62-7
CMF C2 H2 O4



RN 854533-27-0 HCAPLUS
CN 2(1H)-Quinolinone, 6-[(2,3-dihydro-1,4-benzodioxin-6-yl)[[3-methyl(phenylmethyl)amino]propyl]amino]methyl]-3-ethyl-, ethanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 854533-26-9
CMF C31 H35 N3 O3



CM 2

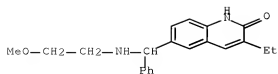
CRN 144-62-7
CMF C2 H2 O4



RN 854533-29-2 HCAPLUS
CN 2(1H)-Quinolinone, 3-ethyl-6-[[[(2-methoxyethyl)amino]phenylmethyl]-, ethanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 854533-28-1
CMF C21 H24 N2 O2



CM 2

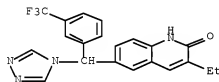
CRN 144-62-7

CMF C2 H2 O4

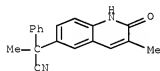


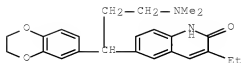
RN 854533-43-0 HCAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[4H-1,2,4-triazol-4-yl]-3-(trifluoromethyl)phenyl)methyl)- (CA INDEX NAME)



RN 854533-56-5 HCAPLUS

CN 6-Quinolineacetonitrile, 1,2-dihydro- α ,3-dimethyl-2-oxo- α -phenyl- (CA INDEX NAME)



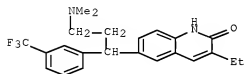
RN 854533-65-6 HCAPLUS

CN 2(1H)-Quinolinone, 6-[3-(dimethylamino)-1-[3-(trifluoromethyl)phenyl]propyl]-3-ethyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 854533-64-5

CMF C23 H25 F3 N2 O



CM 2

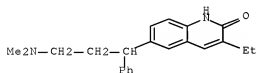
CRN 144-62-7

CMF C2 H2 O4



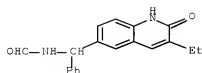
RN 854533-67-8 HCAPLUS

CN 2(1H)-Quinolinone, 6-[3-(dimethylamino)-1-phenylpropyl]-3-ethyl- (CA INDEX NAME)



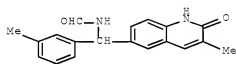
RN 854533-75-8 HCAPLUS

CN Formamide, N-[(3-ethyl-1,2-dihydro-2-oxo-6-quinolinyl)phenylmethyl]- (CA INDEX NAME)



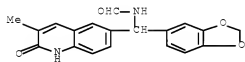
RN 854533-79-2 HCAPLUS

CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)(3-methylphenyl)methyl]- (CA INDEX NAME)



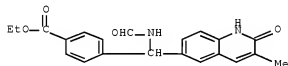
RN 854533-81-6 HCAPLUS

CN Formamide, N-[1,3-benzodioxol-5-yl(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)



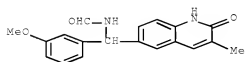
RN 854533-83-8 HCAPLUS

CN Benzoic acid, 4-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)(formylamino)methyl]-, ethyl ester (CA INDEX NAME)



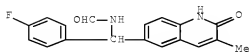
RN 854533-85-0 HCAPLUS

CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)(3-methoxyphenyl)methyl]- (CA INDEX NAME)



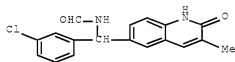
RN 854533-87-2 HCAPLUS

CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl) (4-fluorophenyl)methyl]- (CA INDEX NAME)



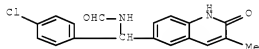
RN 854533-91-8 HCAPLUS

CN Formamide, N-[(3-chlorophenyl) (1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)



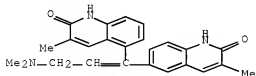
RN 854533-93-0 HCAPLUS

CN Formamide, N-[(4-chlorophenyl) (1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)methyl]- (CA INDEX NAME)



RN 854534-23-9 HCAPLUS

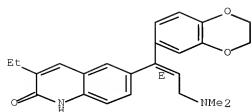
CN 2(1H)-Quinolinone, 5-[1-(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)-3-(dimethylamino)-1-propen-1-yl]-3-methyl- (CA INDEX NAME)



RN 854534-24-0 HCAPLUS

CN 2(1H)-Quinolinone, 6-[(1E)-1-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-(dimethylamino)-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

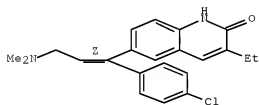
Double bond geometry as shown.



RN 854534-25-1 HCAPLUS

CN 2(1H)-Quinolinone, 6-[(1Z)-1-(4-chlorophenyl)-3-(dimethylamino)-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

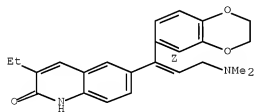
Double bond geometry as shown.



RN 854534-26-2 HCAPLUS

CN 2(1H)-Quinolinone, 6-[(1Z)-1-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-(dimethylamino)-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

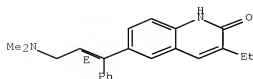
Double bond geometry as shown.



RN 854534-27-3 HCAPLUS

CN 2(1H)-Quinolinone, 6-[(1E)-1-phenyl-3-(dimethylamino)-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

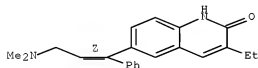
Double bond geometry as shown.



RN 854534-28-4 HCAPLUS

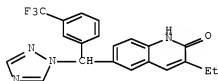
CN 2(1H)-Quinolinone, 6-[(1Z)-3-(dimethylamino)-1-phenyl-1-propen-1-yl]-3-ethyl- (CA INDEX NAME)

Double bond geometry as shown.



RN 854535-35-6 HCAPLUS

CN 2(1H)-Quinolinone, 3-ethyl-6-[1H-1,2,4-triazol-1-yl][3-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



IT 854534-38-6P 854534-48-8P 854534-49-9P

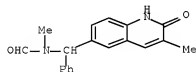
854534-50-2P 854534-51-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

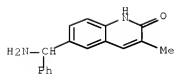
RN 854534-38-6 HCAPLUS

CN Formamide, N-[(1,2-dihydro-3-methyl-2-oxo-6-quinolinyl)phenylmethyl]-N-methyl- (CA INDEX NAME)

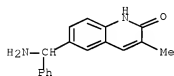


RN 854534-48-8 HCAPLUS

CN 2(1H)-Quinolinone, 6-(aminophenylmethyl)-3-methyl- (CA INDEX NAME)



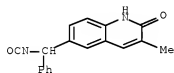
RN 854534-49-9 HCAPLUS

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(CA INDEX NAME)

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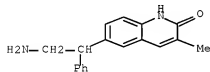
RN 854534-50-2 HCAPLUS

CN 2(1H)-Quinolinone, 6-(isocyanatophenylmethyl)-3-methyl- (CA INDEX NAME)



RN 854534-51-3 HCAPLUS

CN 2(1H)-Quinolinone, 6-(2-amino-1-phenylethyl)-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

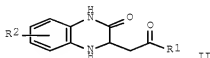
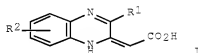
L13 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:258080 HCAPLUS [Full-text](#)

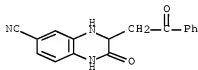
DOCUMENT NUMBER: 141:314292

TITLE: Thermal rearrangement of 3-phenacylquinoxalones-2

AUTHOR(S): Kolos, N. N.; Berezkina, T. V.; Orlov, V. D.
 CORPORATE SOURCE: Khar'kov. Nats. Univ. im. V. N. Karazina, Kharkov,
 61077, Ukraine
 SOURCE: Zhurnal Organichnoi ta Farmatsevtichnoi Khimii
 (2003), 1(1-2), 31-34
 CODEN: ZOIFAM
 PUBLISHER: Natsional'nii Farmatsevtichnii Universitet
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 OTHER SOURCE(S): CASREACT 141:314292
 GI



- AB 2-Carboxymethylidene-3-aryl-1,2-dihydroquinoxalines I (R1 = Ph, 4-MeC6H4, 2-thienyl, R2 = H, 7-Cl; R1 = Ph, R2 = 6,7-Me2, 6-CN, 7-Cl) and unsubstituted quinoxalin-2-one were prepared by thermal rearrangement of 3-acylmethylidihydroquinoxalin-2-ones II in acetic acid or on heating above the m.p.; the direction of the reactions depends on the nature of the substituent in the quinoxaline aromatic ring. The thermodyn. characteristics of decomposition of II (R1 = Ph, R2 = H) were calculated and computer anal. of potential pharmacol. activity of some products was carried out.
- IT 448959-30-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of (carboxymethylidene)dihydroquinoxalines by thermal rearrangement of (acylmethyl)dihydroquinoxalinones)
- RN 448959-30-6 HCAPLUS
- CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-2-oxo-3-(2-oxo-2-phenylethyl)- (CA INDEX NAME)



L13 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1990:612014 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 113:212014
 ORIGINAL REFERENCE NO.: 113:35835a, 35838a
 TITLE: Preparation of (1H-azol-1-ylmethyl)quinolines,
 -quinazolines, and -quinoxalines as drugs
 INVENTOR(S): Freyne, Eddy Jean Edgard; Venet, Marc Gaston;
 Raeymaekers, Alfons Herman Margaretha; Sanz, Gerard
 Charles
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 106 pp.

DOCUMENT TYPE: CODEN: EPXXDW
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1 English
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 371564	A2	19900606	EP 1989-203014	19891128 <--
EP 371564	A3	19910529		
EP 371564	B1	19950712		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
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US 5037829	A	19910806	US 1989-435120	19891113 <--
CA 2002864	A1	19900529	CA 1989-2002864	19891114 <--
CA 2002864	C	19991116		
DK 8905994	A	19900530	DK 1989-5994	19891128 <--
DK 172748	B1	19990628		
NO 8904734	A	19900530	NO 1989-4734	19891128 <--
NO 174509	B	19940207		
NO 174509	C	19940518		
AU 8945646	A	19900607	AU 1989-45646	19891128 <--
AU 620946	B2	19920227		
HU 52498	A2	19900728	HU 1989-6220	19891128 <--
HU 205106	B	19920330		
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SU 1780536	A3	19921207	SU 1989-4742543	19891128 <--
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ES 2088889	T3	19961001	ES 1989-203014	19891128 <--
FI 101964	B	19980930	FI 1989-5687	19891128 <--
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CN 1042912	A	19900613	CN 1989-108925	19891129 <--
CN 1033752	C	19970108		
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CN 1036003	C	19971001		
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			US 1989-434957	A3 19891113 <--
			US 1991-704746	A3 19910523 <--
			US 1992-973871	A3 19921110 <--
			US 1993-131817	A3 19931005 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 113:212014

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, alkyl; X1:X2 = CH:CH, CH:N, N:CH; Y = H, alkyl, cycloalkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl; Z = (un)substituted (oxo)quinolinyl, (oxo- or thioxo)quinazolinyl, (oxo- or dioxo)quinoxalinyl] were

prepared as retinoic acid metabolism inhibitors, aromatase inhibitors, etc. Thus, 3,4-dihydroquinolin-2(1H)-one was stirred 2 h at 70° with BzCl in DMF containing AlCl₃ and the product reduced by NaBH₄ to give hydroxymethylquinolinone II (R₁ = Ph, R₂ = OH). II (R₁ = Me, R₂ = OH) was stirred overnight with SOCl₂ in THF and the product II (R₁ = Me, R₂ = Cl) stirred overnight at 60-70° with 1H-imidazole in DMSO to give II (R₁ = Me, R₂ = imidazo) which maintained plasma levels of i.v. administered all-trans-retinoic acid at ≥10 ng/mL in rats 2 h after oral administration of 40 mg/kg.

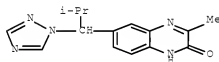
IT 130347-01-2P 130347-78-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as retinoate metabolism and aromatase inhibitor)

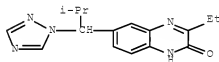
RN 130347-01-2 HCAPLUS

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(CA INDEX NAME)



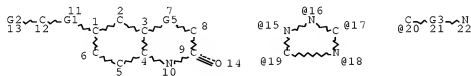
RN 130347-78-3 HCAPLUS

CN 2(1H)-Quinoxalinone, 3-ethyl-6-[2-methyl-1-(1H-1,2,4-triazol-1-yl)propyl]-
(CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS
RECORD (43 CITINGS)

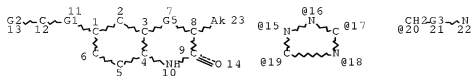
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L1 STR



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DEFAULT ECLEVEL IS LIMITED

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
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NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
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L12 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND (?PHARMA? OR ?THERAP?
OR ?DRUG? OR ?MEDIC?)
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L15 700 SEA FILE=HCAPLUS ABB=ON PLU=ON L14
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OR PRY=<2003 OR PD=< JANUARY 5, 2004)
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L20 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 NOT L12

=> d ibib abs hitstr 120 1-6

L20 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:36553 HCAPLUS Full-text
DOCUMENT NUMBER: 142:134479
TITLE: Preparation of tetrahydroquinoline derivatives as
cannabinoid receptor modulators
INVENTOR(S): Sher, Philip M.; Sun, Chongqing; Sulsky, Richard B.;
Wu, Gang; Ewing, William R.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20050009870      A1      20050113      US 2004-889268      20040712 <--
US 7276608          B2      20071002
US 20050014786      A1      20050120      US 2004-889274      20040712 <--
WO 2005007111      A2      20050127      WO 2004-US22407      20040712 <--
WO 2005007111      A3      20050414

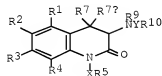
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WO 2005007628      A1      20050127      WO 2004-US22408      20040712 <--
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    SN, TD, TG

EP 1644370          A2      20060412      EP 2004-778085      20040712 <--
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EP 1644335          A1      20060412      EP 2004-778086      20040712 <--
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US 20080194625      A1      20080814      US 2008-108616      20080424 <--
PRIORITY APPLN. INFO.:
US 2003-486774P      P      20030711 <--
US 2004-889274      A1      20040712
WO 2004-US22407      W      20040712
WO 2004-US22408      W      20040712

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OTHER SOURCE(S): MARPAT 142:134479
GI



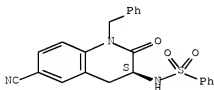
I

AB The invention provides for compds. I [R1, R3, R4 = H, alkyl, halo, CN; R2 = alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, aralkyl, heteroaralkyl, acyl, OR11, OCHF2; R5 = alkyl alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, CO2R13, CONR13R13a; R7, R7a

= H, alkyl, cycloalkyl; R₉ = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, aralkyl, heteroaralkyl; R₁₀ = alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroaralkyl; R₁₁ = aryl, heteroaryl, heteroaralkyl; R₁₂, R_{12a} = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R₁₂R_{12a} = cycloalkyl, heterocyclyl; R₁₃, R_{13a} =; R₁₃R_{13a} = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; X = (CR₁₄R_{14a})_n; R₁₄, R_{14a} = H, alkyl; R₁₅ = H, alkyl alkenyl, alkynyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R₁₀R₁₅ = cycloalkyl, heterocyclyl; n = 0 - 2; with the provisos: R₅ ≠ (un)substituted imidazole; when Y = SO₂, then R₁₀ ≠ 7-membered lactam; when Y = SO₂NR₁₅, then R₁₀, R₁₅ ≠ 7-membered lactam]. Thus, N-(1-Benzyl-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)benzenesulfonamide [I; R₁ - R₄ = R₇ = R_{7a} = R₉ = H, R₅ = R₁₀ = Ph, X = CH₂, Y = SO₂] was prepared from 3-amino-1,2,3,4-tetrahydroquinolin-2-one via N-protection with Boc₂O, N-alkylation with PhCH₂Br in DMF containing Cs₂CO₃, deprotection with CF₃CO₂H in CH₂Cl₂, and sulfonylation with PhSO₂Cl in MeCN contg. EtN(CHMe)₂. Further provided are methods of using such compds. for the treatment of eating disorders, metabolic disorders, obesity, cognitive disorders, neurol. disorders, pain disorders, inflammation disorders, in the promotion of smoking cessation and for the treatment of other psychiatric disorders. The cannabinoid receptor binding activity of I were tested [K_i = 0.01 - 4000 nM]. Also provided are pharmaceutical compns. containing such compds. and pharmaceutical combinations of the compds. of the invention with other therapeutic agents.

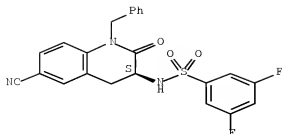
- IT 824412-60-4F, (S)-N-(1-Benzyl-6-cyano-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)benzenesulfonamide 824412-61-5F, (S)-N-(1-Benzyl-6-cyano-2-oxo-1,2,3,4-tetrahydroquinolin-3-yl)-3,5-difluorobenzenesulfonamide
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of tetrahydroquinoline derivs. as cannabinoid receptor modulators)
 RN 824412-60-4 HCAPLUS
 CN Benzenesulfonamide, N-[(3S)-6-cyano-1,2,3,4-tetrahydro-2-oxo-1-(phenylmethyl)-3-quinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.



- RN 824412-61-5 HCAPLUS
 CN Benzenesulfonamide, N-[(3S)-6-cyano-1,2,3,4-tetrahydro-2-oxo-1-(phenylmethyl)-3-quinolinyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:902165 HCAPLUS Full-text
DOCUMENT NUMBER: 141:360708
TITLE: Methods and materials for the treatment of pain
comprising opioid antagonists
INVENTOR(S): Burns, Lindsay H.; Schoenhard, Grant L.
PATENT ASSIGNEE(S): Pain Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091593	A2	20041028	WO 2004-US11569	20040414 <--
WO 2004091593	A3	20050421		
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RW:	BW, GH, GM, KE, LS, MN, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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US 20050038062	A1	20050217	US 2004-825257	20040414 <--
EP 1613324	A2	20060111	EP 2004-759539	20040414 <--
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PRIORITY APPLN. INFO.:			US 2003-463004P	P 20030414 <--
			WO 2004-US11569	W 20040414

AB Methods and compns. for treating subjects with pain, including neuropathic pain, using opioid antagonists are described. Such antagonists are used alone or in combinations with opioid agonists, wherein an opioid antagonist enhances the neuropathic pain-alleviating potency of an opioid agonist. For example, the

combination of naltrexone (0.1 ng) and morphine (10 µg), representing a ratio of 1:100,000 of the opioid antagonist to opioid agonist, twice daily, resulted in a significant antihyperalgesic effect in a rat model of neuropathic pain, compared to vehicle or morphine alone for the Day 1 through Day 7 duration. Although morphine alone at 10 µg resulted in 65% and 73% antihyperalgesia on Day 1 and 2, resp., with return to baseline by day 5, the combination of morphine (10 µg) and naltrexone (0.1 ng) resulted in 75, 81, 91, 63, 79, 67 and 56% antihyperalgesia on Days 1 through 7, resp., as well as analgesia (paw withdrawal latencies went above baseline) Days 1 through 7.

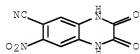
IT 115066-14-3, CNQX

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(opioid antagonists alone or in combinations with opioid agonists and other agents for treatment of pain)

RN 115066-14-3 HCAPLUS

CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2004:601225 HCAPLUS Full-text

DOCUMENT NUMBER: 142:49031

TITLE: Differential effects of NMDA and AMPA/kainate receptor antagonists on superoxide production and MnSOD activity in rat brain following intrahippocampal injection

AUTHOR(S): Radenovic, L.; Selakovic, V.; Kartelija, G.; Todorovic, N.; Nedeljkovic, M.

CORPORATE SOURCE: Department of Physiology and Biochemistry, Faculty of Biology, University of Belgrade, Belgrade, 11000, Brain Research Bulletin (2004), 64(1), 85-93

SOURCE: CODEN: BRBDU; ISSN: 0361-9230

PUBLISHER: Elsevier Inc.

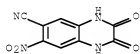
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The involvement of NMDA and AMPA/kainate receptors in the induction of superoxide radical production in the rat brain was examined after injection of kainate, non-NMDA receptor agonist, kainate plus 6-cyano-7-nitroquinoxaline-2,3-dione (CNQX), selective AMPA/kainate receptor antagonist, or kainate plus 2-amino-5-phosphonopentanoic acid (APV), selective NMDA receptor antagonist. Competitive glutamate receptor antagonists were injected with kainate unilaterally into the CA3 region of the rat hippocampus. We investigated superoxide production and mitochondrial MnSOD activity after injection. The measurements took place at different times (5, 15 min, 2, 48 h and 7 days) in the ipsi- and contralateral hippocampus, forebrain cortex, striatum, and cerebellum homogenates. Used

glutamate antagonists APV and CNQX both expressed sufficient neuroprotection in sense of decreasing superoxide production and increasing MnSOD levels, but with differential effect in mechanisms and time dynamics. Our findings suggest that NMDA and AMPA/kainate receptors are differentially involved in superoxide production. Following intrahippocampal antagonists injection they, also, interpose different neuroprotection effect on the induction of MnSOD activity in distinct brain regions affected by the injury, which are functionally connected via afferents and efferents. It suggests that MnSOD protects the cells in these regions from superoxide-induced damage and therefore may limit the retrograde and anterograde spread of neurotoxicity.

IT 115066-14-3, 6-Cyano-7-nitroquinoxaline-2,3-dione
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (intra hippocampal injection of kainate plus selective AMPA/kainate receptor antagonist 6-cyano-7-nitroquinoxaline-2,3-dione had neuroprotective effect by decreasing superoxide, increasing Mn-superoxide dismutase activity in rat brain)
 RN 115066-14-3 HCAPLUS
 CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2003:6165 HCAPLUS Full-text
 DOCUMENT NUMBER: 138:83349
 TITLE: Cancer cell cell-surface molecule and cancer-specific promoter identification, targeting complexes, binding partners, and treatment methods
 INVENTOR(S): Poulsen, Hans Skovgaard; Pedersen, Nina; Mortensen, Shila; Sorensen, Susanne Berg; Petersen, Mikkel Wandahl; Elsner, Henrik Irgang
 PATENT ASSIGNEE(S): Odin Medical A/S, Den.
 SOURCE: PCT Int. Appl., 223 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000928	A2	20030103	WO 2002-IB3534	20020619 <--
WO 2003000928	A3	20040603		

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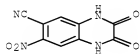
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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 GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
 GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2489420 A1 20030103 CA 2002-2489420 20020619 <--
 AU 2002326094 A1 20030108 AU 2002-326094 20020619 <--
 EP 1446501 A2 20040818 EP 2002-760486 20020619 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 CN 1547617 A 20041117 CN 2002-816658 20020619 <--
 JP 2005500833 T 20050113 JP 2003-507309 20020619 <--
 ZA 2004000535 A 20050124 ZA 2004-535 20040123 <--
 IN 2004CN00145 A 20051209 IN 2004-CN145 20040123 <--
 US 20050037445 A1 20050217 US 2004-482029 20040903 <--
 PRIORITY APPLN. INFO.: DK 2001-992 A 20010625 <--
 US 2001-301818P P 20010702 <--
 WO 2002-IB3534 W 20020619 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention describes methods for identification of mols. expressed at a different level on the cell surface of cancer cells compared to non-malignant cells and methods of identification of cancer-specific promoters to be used singly or in combination for delivery and expression of therapeutic genes for treatment of cancer. The invention furthermore describes targeting complexes targeted to cell surface mols. identified by the methods of the invention. In embodiments of the invention, the targeting complexes comprise the promoters identified by the methods of the invention. In addition the invention describes methods of identifying binding partners for the cell surface mols. and the binding partners per se. Methods of treatment using the targeting complexes and uses of the targeting complexes for the preparation of a medicament are also disclosed by the invention. Furthermore, the invention describes uses of the cell surface mols. or fragments thereof for preparation of vaccines.

IT 115066-14-3, CNQX
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (binding partner; cancer cell cell-surface mol. and cancer-specific promoter identification, targeting complexes, binding partners, and treatment methods)

RN 115066-14-3 HCAPLUS
 CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:762988 HCAPLUS Full-text

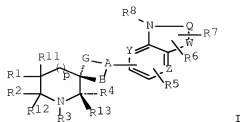
DOCUMENT NUMBER: 135:331346
 TITLE: Synthesis of benzoamide piperidine containing compounds as substance P antagonists
 INVENTOR(S): Arnold, Eric Platt; Chappie, Thomas Allen; Huang, Jianhua; Humphrey, John Michael; Nagel, Arthur Adam; O'Neill, Brian Thomas; Sobolov-Jaynes, Susan Beth; Vincent, Lawrence Albert
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 209 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077100	A2	20011018	WO 2001-IB629	20010406 <--
WO 2001077100	A3	20020307		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20030087925	A1	20030508	US 2001-811218	20010316 <--
US 7119207	B2	20061010		
CA 2405089	A1	20011018	CA 2001-2405089	20010406 <--
EP 1272484	A2	20030108	EP 2001-919702	20010406 <--
EP 1272484	B1	20050720		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009936	A	20030506	BR 2001-9936	20010406 <--
HU 2003000413	A2	20030628	HU 2003-413	20010406 <--
JP 2004501072	T	20040115	JP 2001-575573	20010406 <--
JP 4001486	B2	20071031		
EE 200200588	A	20040415	EE 2002-588	20010406 <--
NZ 521346	A	20040730	NZ 2001-521346	20010406 <--
AT 299875	T	20050815	AT 2001-919702	20010406 <--
ES 2244599	T3	20051216	ES 2001-919702	20010406 <--
IN 2002MN01244	A	20050304	IN 2002-MN1244	20020912 <--
BG 107135	A	20030630	BG 2002-107135	20020923 <--
ZA 2002008072	A	20031008	ZA 2002-8072	20021008 <--
NO 2002004874	A	20021118	NO 2002-4874	20021009 <--
MX 2002010029	A	20030212	MX 2002-10029	20021009 <--
PRIORITY APPLN. INFO.:			US 2000-195922P	P 20000410 <--
			US 2000-212922P	P 20000620 <--
			WO 2001-IB629	W 20010406 <--

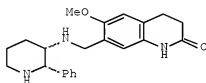
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:331346

GI



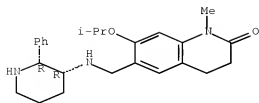
I



II

- AB Title compds. I [Q = C:NH, C:CH₂, C:S, C:O, SO, SO₂; A = CH, CH₂, C(alkyl), CH(alkyl), C(CF₃), or CH(CF₃) with the proviso that when B is present, A = CH, C(alkyl), or C(CF₃); B = absent, CH₂, or ethylene; Y, Z = N, CH, provided that both are not N; G = NH(CH₂)_q, S(CH₂)_q, O(CH₂)_q; q = 0-1 with the proviso that when q = 0, G = NH₂, SH, OH; W = 1-3 carbon linking group, including spiro assemblies; p = 0-2; R₃ = H, acyl, carboxy, Ph, heterocyclyl, alkyl, etc.; R₁, R₂, R₁₁-13 = H, alkyl, etc., or R₁₂-13 together with the carbon atoms to which they are attached form a 5- or 6-membered heterocyclic ring, etc.; R₄ = Ph, pyridyl, thienyl, etc.; R₅-8 = H, alkyl, S(O)1-2-alkyl, S(O)1-2-aryl, alkoxy, halo, Ph, etc.] were prepared Approx. 100 synthetic examples and over 100 precursor preps. were provided. For instance, 4-aminophenol was acylated with 3-chloropropionyl chloride (CH₂Cl₂, H₂O, NaHCO₃, room temperature, 4 h) and the product treated with AlCl₃ at 210°C for 10 min effecting cyclization to the hydroxy quinolone intermediate. The intermediate was O-methylated (acetone, Me₂SO₄, K₂CO₃, room temperature, 16 h) and formylated in the 7 position (CH₂Cl₂, AlCl₃, Cl₂CHOMe) to give 7-formyl-6-methoxy-1H-1,2,3,4-tetrahydroquinolin-2-one. Reductive alkylation of the quinolone with (2S,3S)-3-amino-2-phenylpiperidine (a. PhMe, 3Å mol. sieves; b. dichloroethane, NaHB(OAc)₃, room temperature, 16 h) yielded II. Compds. I are NK-1 receptor antagonists, i.e., substance P receptor antagonists. At least one stereoisomer of the example compds. had a binding affinity, as measured by K_i, of at least 600 nM. I are used in the treatment and prevention of a wide variety of central nervous system disorders, inflammatory disorders, cardiovascular disorders, ophthalmic disorders, etc.
- IT 368832-06-8P 368834-80-4P 368834-82-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; synthesis of benzoamide piperidine containing compds. as substance P antagonists)
- RN 368832-06-8 HCAPLUS
- CN 2(1H)-Quinolone, 3,4-dihydro-1-methyl-7-(1-methylethoxy)-6-[[[(2R,3R)-2-phenyl-3-piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

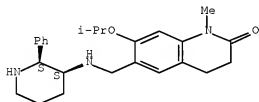
Relative stereochemistry.



RN 368834-80-4 HCAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-1-methyl-7-(1-methylethoxy)-6-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

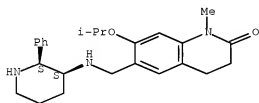


● 2 HCl

RN 368834-82-6 HCAPLUS

CN 2(1H)-Quinolinone, 3,4-dihydro-1-methyl-7-(1-methylethoxy)-6-[[[(2S,3S)-2-phenyl-3-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS
RECORD (14 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

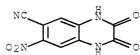
L20 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:260108 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:144743

TITLE: Caspase-Mediated Suppression of Glutamate (AMPA)
Receptor Channel Activity in Hippocampal Neurons in
Response to DNA Damage Promotes Apoptosis and Prevents
Necrosis: Implications for Neurological Side Effects

AUTHOR(S): of Cancer Therapy and Neurodegenerative Disorders
 Lu, Chengbiao; Fu, Weiming; Mattson, Mark P.
 CORPORATE SOURCE: Laboratory of Neurosciences, National Institute on
 Aging, Baltimore, MD, 21224, USA
 SOURCE: Neurobiology of Disease (2001), 8(2), 194-206
 CODEN: NUDIEM; ISSN: 0969-9961
 PUBLISHER: Academic Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB DNA damage in neurons is implicated in the pathogenesis of several
 neurodegenerative disorders and may also contribute to the often severe neurol.
 complications in cancer patients treated with chemotherapeutic agents. DNA
 damage can trigger apoptosis, a form of controlled cell death that involves
 activation of cysteine proteases called caspases. The excitatory
 neurotransmitter glutamate plays central roles in the activation of neurons and
 in processes such as learning and memory, but over activation of ionotropic
 glutamate receptors can induce either apoptosis or necrosis. Glutamate receptors
 of the AMPA (α -amino-3-hydroxy-5-methylisoxazole-4-propionate) type mediate such
 physiol. and pathol. processes in most neurons. We now report that DNA damage
 can alter glutamate receptor channel activity by a mechanism involving activation
 of caspases. Whole-cell patch clamp analyses revealed a marked decrease in AMPA-
 induced currents after exposure of neurons to camptothecin, a topoisomerase
 inhibitor that induces DNA damage; N-methyl-D-aspartate (NMDA)-induced currents
 were unaffected by camptothecin. The decrease in AMPA-induced current was
 accompanied by a decreased calcium response to AMPA. Pharmacol. inhibition of
 caspases abolished the effects of camptothecin on AMPA-induced current and
 calcium responses, and promoted excitotoxic necrosis. Combined treatment with
 glutamate receptor antagonists and a caspase inhibitor prevented camptothecin-
 induced neuronal death. Caspase-mediated suppression of AMPA currents may allow
 neurons with damaged DNA to withdraw their participation in excitatory circuits
 and undergo apoptosis, thereby avoiding widespread necrosis. These findings have
 important implications for treatment of patients with cancer and
 neurodegenerative disorders. (c) 2001 Academic Press.
 IT 115066-14-3, CNQX
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (combined treatment with glutamate receptor antagonists and a caspase
 inhibitor prevented camptothecin-induced neuronal death)
 RN 115066-14-3 HCAPLUS
 CN 6-Quinoxalinecarbonitrile, 1,2,3,4-tetrahydro-7-nitro-2,3-dioxo- (CA
 INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS
 RECORD (16 CITINGS)
 REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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 L5 STR
 L6 1693 SEA SUB=L3 SSS FUL L5

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 L12 8 SEA ABB=ON PLU=ON L11 AND (?PHARMA? OR ?THERAP? OR ?DRUG? OR
 ?MEDIC?)
 L13 5 SEA ABB=ON PLU=ON L12 AND (AY=<2003 OR PY=<2003 OR PRY=<2003
 OR PD=< JANUARY 5, 2004)
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 D IBIB ABS HITSTR L13 1-5

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 OR PD=< JANUARY 5, 2004)
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 L20 6 SEA ABB=ON PLU=ON L19 NOT L12
 D STAT QUE L20
 D IBIB ABS HITSTR L20 1-6

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